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FILE LAST UPDATED: 17 Dec 2001 (20011217/ED)

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The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.


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=> s l13
L14         639 L13

=> s l14 and crystal
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        1174445 CRYSTAL
                (CRYSTAL OR CRYSTALS)
L15           8 L14 AND CRYSTAL

=> d l15 1-8 bib abs

L15  ANSWER 1 OF 8  CAPLUS  COPYRIGHT 2001 ACS
AN   2001:109949  CAPLUS
DN   134:168317
TI   Nonhygroscopic crystals of benzimidazolyl pyridylmethyl
     sulfoxides and their preparation
IN   Tsujii, Masahiko; Arakawa, Nobuo; Hasebe, Takashi
PA   Eisai Co., Ltd., Japan
SO   Jpn. Kokai Tokkyo Koho, 12 pp.
     CODEN: JKXXAF
DT   Patent
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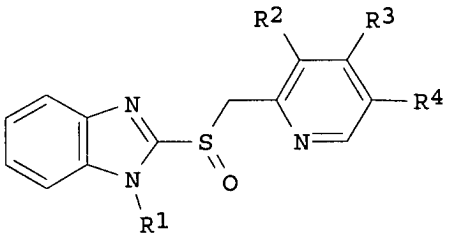
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9821201	A1	19980522	WO 1997-JP4136	19971113
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 385306	B	20000321	TW 1997-86116425	19971105
	AU 9749652	A1	19980603	AU 1997-49652	19971113
	AU 731776	B2	20010405		
	JP 10195068	A2	19980728	JP 1997-312185	19971113
	EP 944617	A1	19990929	EP 1997-912445	19971113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1237167	A	19991201	CN 1997-199638	19971113
	US 6002011	A	19991214	US 1998-9959	19980121
	KR 2000053158	A	20000825	KR 1999-704094	19990507
PRAI	JP 1996-303361	A	19961114		
	WO 1997-JP4136	W	19971113		

OS MARPAT 129:16123

GI



I

AB Substantially solvent-free and stable **crystals** of benzimidazoles I (R1 = H or an N-protecting group; R2, R3, R4 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; benzene ring may be substituted) or their salts are prepd. in an industrially advantageous method by a desolvation method.

L15 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1997:724488 CAPLUS
DN 127:336721
TI Study of **crystal** modifications of Lansoprazole using FT-IR spectroscopy, solid-state NMR spectroscopy and FT-Raman spectroscopy
AU Curin, A. Sitar; Grcman, M.; Vrecer, F.; Kotar-Jordan, B.; Sustar, B.
CS KRKA, d.d., Novo mesto, R&D Division, Novo mesto, 8501, Slovenia
SO Farm. Vestn. (Ljubljana) (1997), 48(Pos. Stev.), 290-291
CODEN: FMVTAV; ISSN: 0014-8229
PB Slovensko Farmacevtsko Drustvo
DT Journal
LA English
AB The characterization of **crystal** modifications of drugs is very important in preformulation studies due to their influence on the biopharmaceutic and stability properties of dosage forms. The isolated modification of lansoprazole were identified by FT-IR spectroscopy, solid-state NMR and FT-Raman spectroscopy. The main advantage of this technique is that there is no mech. stress applied on the sample during sample prepn. and scanning the spectra.

L15 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1997:724334 CAPLUS
DN 127:362535
TI Study of influence of temperature and grinding on the crystalline state of lansoprazole
AU Vrecer, F.; Kramar, A.; Curin, A.; Grcman, M.; Kotar-Jordan, B.
CS KRKA, d.d., Novo mesto, R&D Division, Novo mesto, 8000, Slovenia
SO Farm. Vestn. (Ljubljana) (1997), 48(Pos. Stev.), 242-243
CODEN: FMVTAV; ISSN: 0014-8229
PB Slovensko Farmacevtsko Drustvo
DT Journal
LA English
AB The polymorphic form B of lansoprazole underwent a spontaneous transformation into the stable form. The transformation was facilitated by temp. and applied mech. stress. Thus, in spite of a faster dissoln. rate of the form B than that of the form A, the form B cannot be used as such in the development of the dosage forms.

JP 2000-181640 A3 20000616

AB A novel **crystal** of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzmidazole (lansoprazole) or a salt is useful as an excellent antiulcer agent. Amorphous (R)-lansoprazole, obtained by the chromatog. resoln. of racemic lansoprazole, was dissolved in acetone, and water was added with gentle heating. After being collected by filtration, the solid was washed with water, and washed with diisopropyl ether, and dried. A **crystal** seed was placed, and the mixt. was kept standing at room temp. overnight. Pptd. **crystals** were collected by filtration, washed with diisopropyl ether, and dried. These **crystals** were dissolved in acetone and water to yield a ppt. which was dried. The pptd. solid was filtered, washed with acetone-water, and dried. After repeated dissoln. of the solid in acetone and diisopropyl ether and washing and drying, **crystals** of R(+)-lansoprazole were obtained.

L15 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 2000:911228 CAPLUS
DN 134:56673
TI Preparation of new crystalline forms of lansoprazole
IN Piechaczek, Janina; Glice, Magdalena; Cichy, Bozenna; Serafin, Jadwiga; Koziol, Anna; Cybulski, Jacek; Chilmonczyk, Zdzislaw
PA Instytut Farmaceutyczny, Pol.
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078729	A1	20001228	WO 2000-PL42	20000615
	W: CZ, HR, HU, RU, SK, UA, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				

PRAI PL 1999-333847 A 19990618

AB The polymorphism of lansoprazole is described where the cryst. forms I and II of lansoprazole were obtained and identified and a method of prepn. of lansoprazole in the pharmaceutically advantageous cryst. form I was developed by crystn. of crude lansoprazole from ethanol (contg. .ltoreq.10% water), followed by crystn. of lansoprazole of .gtoreq.99% purity from acetone. The form I finds application as an active ingredient of pharmaceutical compns. (no data) and cryst. data for forms lansoprazole I and II are presented.

RE.CNT 6
RE
(1) Kotar, B; EUROPEAN JOURNAL OF PHARMACEUTICAL SCIENCES 1996, V4(Supplement), PS182
(2) Kubo, K; CHEMICAL & PHARMACEUTICAL BULLETIN 1990, V38(10), P2853 CAPLUS
(4) Takeda Chemical Industries Ltd; EP 0302720 A 1989 CAPLUS
(5) Takeda Chemical Industries Ltd; WO 9821201 A 1998 CAPLUS
(6) Vrecer, F; FARMACEVTSKI VESTNIK 1997, V48, P242 CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 1998:341559 CAPLUS
DN 129:16123
TI **Crystals** of benzimidazole derivatives and their production
IN Kato, Masayasu; Ishida, Toru
PA Takeda Chemical Industries, Ltd., Japan; Kato, Masayasu; Ishida, Toru
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

=> d l18 bib abs 1-2

L18 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2001 ACS
AN 2000:911240 CAPLUS
DN 134:61518
TI Purification and crystallization of (R)-lansoprazole as antiulcer agent
IN Fujishima, Akira; Aoki, Isao; Kamiyama, Keiji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078745	A2	20001228	WO 2000-JP3880	20000615
	WO 2000078745	A3	20010705		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1129088	A2	20010905	EP 2000-937235	20000615
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001058990	A2	20010306	JP 2000-181640	20000616
	JP 2001122783	A2	20010508	JP 2000-331386	20000616
PRAI	JP 1999-171509	A	19990617		
	WO 2000-JP3880	W	20000615		
	JP 2000-181640	A3	20000616		

AB A novel crystal of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzmidazole (lansoprazole) or a salt is useful as an excellent antiulcer agent. Amorphous (R)-lansoprazole, obtained by the chromatog. resoln. of racemic lansoprazole, was dissolved in acetone, and water was added with gentle heating. After being collected by filtration, the solid was washed with water, and washed with diisopropyl ether, and dried. A crystal seed was placed, and the mixt. was kept standing at room temp. overnight. Pptd. crystals were collected by filtration, washed with diisopropyl ether, and dried. These crystals were dissolved in acetone and water to yield a ppt. which was dried. The pptd. solid was filtered, washed with acetone-water, and dried. After repeated dissoln. of the solid in acetone and diisopropyl ether and washing and drying, crystals of R(+)-lansoprazole were obtained.

L18 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2001 ACS
AN 1996:229899 CAPLUS
DN 124:331460
TI Determination of R(+)- and S(-)-lansoprazole using chiral stationary-phase liquid chromatography and their enantioselective pharmacokinetics in humans
AU Katsuki, Hisakazu; Yagi, Hatsumi; Arimori, Kazuhiko; Nakamura, Chizuko; Nakano, Masahiro; Katafuchi, Shigeru; Fujioka, Yuhichi; Fujiyama, Shigetoshi
CS Dep. Pharmacy, Kumamoto Univ. Hospital, Kumamoto, Japan
SO Pharm. Res. (1996), 13(4), 611-15
CODEN: PHREEB; ISSN: 0724-8741
DT Journal
LA English
AB Stereoselective and sensitive methods employing chiral stationary phase

columns for HPLC detn. of enantiomers of lansoprazole in the human serum were developed and pharmacokinetic behaviors of the enantiomers were evaluated in seven subjects. Five chiral stationary phase columns: Chiralcel OD (cellulose tris(3,5-dimethyl-phenylcarbamate)), OF (cellulose tris(4-chlorophenylcarbamate)), OG (cellulose tris(4-methylphenylcarbamate)) and OJ (cellulose tris(4-methylbenzoate)), and Chiralpak AS (amylose tris ((S)-1-phenylethylcarbamate)) were investigated. Chiralcel OD and Chiralpak AS columns gave a good resoln. of R(+)- and S(-)-enantiomers from racemic lansoprazole, but Chiralcel OF, OG, and OJ did not. The mean Cmax and the AUC values of R(+)-enantiomer were 3-5 times greater than those of S(-)-enantiomer following oral administration of 30 mg of racemic lansoprazole. The CLtot values of R(+)-enantiomer were significantly smaller than those of S(-)-enantiomer. Binding of R(+)-enantiomer to human serum proteins was significantly greater than that of S(-)-enantiomer. The mean metabolic ratio (metabolites/parent compd.) in human liver microsomes of S(-)-enantiomer was significantly greater than that of R(+)-enantiomer. The stereoselective pharmacokinetics of lansoprazole enantiomers is likely due to its stereoselective protein binding and/or metab.

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=> s 124:331460/dn
L8            1 124:331460/DN

=> d l8

L8   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
AN   1996:229899  CAPLUS
DN   124:331460
TI   Determination of R(+)- and S(-)-lansoprazole using chiral stationary-phase
     liquid chromatography and their enantioselective pharmacokinetics in
     humans
AU   Katsuki, Hisakazu; Yagi, Hatsumi; Arimori, Kazuhiko; Nakamura, Chizuko;
     Nakano, Masahiro; Katafuchi, Shigeru; Fujioka, Yuhichi; Fujiyama,
     Shigetoshi
CS   Dep. Pharmacy, Kumamoto Univ. Hospital, Kumamoto, Japan
SO   Pharm. Res. (1996), 13(4), 611-15
     CODEN: PHREEB; ISSN: 0724-8741
DT   Journal
LA   English


=> s wo9821201/pn
L9            1 WO9821201/PN

=> d l9 bib abs

L9   ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
AN   1998:341559  CAPLUS
DN   129:16123
TI   Crystals of benzimidazole derivatives and their production
IN   Kato, Masayasu; Ishida, Toru
PA   Takeda Chemical Industries, Ltd., Japan; Kato, Masayasu; Ishida, Toru
SO   PCT Int. Appl., 36 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
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PI   WO 9821201          A1   19980522       WO 1997-JP4136   19971113 <--
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             NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ,
             VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
             GN, ML, MR, NE, SN, TD, TG
     TW 385306           B    20000321       TW 1997-86116425 19971105
     AU 9749652          A1   19980603       AU 1997-49652    19971113
     AU 731776           B2   20010405
     JP 10195068         A2   19980728       JP 1997-312185   19971113
     EP 944617           A1   19990929       EP 1997-912445   19971113
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
     CN 1237167          A    19991201       CN 1997-199638   19971113
     US 6002011          A    19991214       US 1998-9959     19980121
     KR 2000053158       A    20000825       KR 1999-704094   19990507
PRAI JP 1996-303361      A    19961114
     WO 1997-JP4136      W    19971113
OS   MARPAT 129:16123
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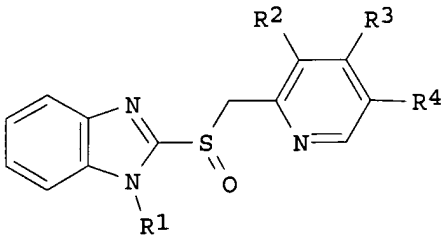

I

AB Substantially solvent-free and stable crystals of benzimidazoles I (R1 = H or an N-protecting group; R2, R3, R4 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; benzene ring may be substituted) or their salts are prepd. in an industrially advantageous method by a desolvation method.

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=> s ep0174726/pn
L10          1 EP0174726/PN
               (EP174726/PN)

=> d l10 bib abs

L10  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
AN   1986:533883  CAPLUS
DN   105:133883
TI   (Pyridylmethylthio)benzimidazoles and their sulfoxides
IN   Nohara, Akira; Maki, Yoshitaka
PA   Takeda Chemical Industries, Ltd. , Japan
SO   Eur. Pat. Appl., 23 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 2
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   EP 174726          A1   19860319       EP 1985-305458   19850731 <--
     EP 174726          B1   19890426
         R:  AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE
     JP 61050978        A2   19860313       JP 1984-171069   19840816
     JP 02044473        B4   19901004
     AT 42554           E    19890515       AT 1985-305458   19850731
     DK 8503564         A    19860217       DK 1985-3564     19850806
     DK 171340          B1   19960916
     AU 8545895         A1   19860220       AU 1985-45895    19850807
     AU 570130          B2   19880303
     ZA 8506117         A    19860430       ZA 1985-6117     19850813
     ES 546152          A1   19860516       ES 1985-546152   19850814
     CA 1255314         A1   19890606       CA 1985-488662   19850814
     SU 1507211         A3   19890907       SU 1985-3947161  19850814
     NO 8503226         A    19860217       NO 1985-3226     19850815
     NO 163131          B    19900102
     NO 163131          C    19941024
     HU 39444           A2   19860929       HU 1985-3151     19850815
     HU 195210          B    19880428
PRAI JP 1984-171069          19840816
     EP 1985-305458          19850731
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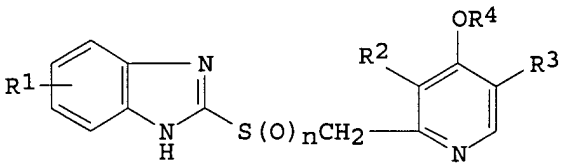

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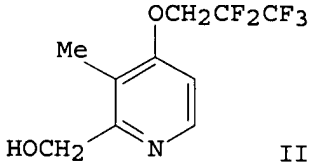

II

AB The title comps. [I; R1 = H, MeO, F3C; R2, R3 = H, Me; R4 = fluoroalkyl; n = 0, 1] were prepd. as antiulcer agents. Thus, 2,3-dimethyl-4-nitropyridine 1-oxide was alkoxylated with HOCH2CF2CF3, then heated with Ac2O and sapond., to give (pentafluoropropoxy)pyridinemethanol II. This was chlorinated and condensed with 2-mercaptobenzimidazole to give I (R1 = R3 = H, R2 = Me, R4 = CH2CF2CF3) (III). In rats III inhibited indomethacin-induced ulcers with an IC50 of 3.7 mg/kg orally.

```
=> s wo9617077/pn
L11          1 WO9617077/PN

=> d l11 bib abs

L11  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
AN   1996:446998  CAPLUS
DN   125:112927
TI   Enantioselective preparation of pharmaceutically active sulfoxides by
     bioreduction
IN   Graham, Daniel; Holt, Robert; Lindberg, Per; Taylor, Stephen
PA   Astra Aktiebolag, Swed.
SO   PCT Int. Appl., 30 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI   WO 9617077         A1   19960606       WO 1995-SE1416   19951127 <--
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             LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG,
             SI, SK
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE,
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             NE, SN, TD, TG
     CA 2204000          AA   19960606       CA 1995-2204000  19951127
     AU 9641270          A1   19960619       AU 1996-41270    19951127
     AU 699656           B2   19981210
     EP 795025           A1   19970917       EP 1995-939461   19951127
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 10510164         T2   19981006       JP 1995-518670   19951127
     US 5776765          A    19980707       US 1995-569083   19951218
PRAI GB 1994-23968            19941128
     WO 1995-SE1416           19951127
OS   MARPAT 125:112927
AB   Enantiomerically pure or enriched sulfoxides are prepd. by stereoselective
     biol. redn. of the racemic sulfoxides.  Thus, racemic omeprazole was
     reacted with Proteus vulgaris, reducing (-)-omeprazole to leave
     (+)-omeprazole in >99% enantiomeric excess.


=> s ep0302720/pn
L12          1 EP0302720/PN
               (EP302720/PN)

=> d l12 bib abs

L12  ANSWER 1 OF 1  CAPLUS  COPYRIGHT 2001 ACS
AN   1989:439369  CAPLUS
DN   111:39369
TI   Production of 2-(2-pyridylmethylsulfinyl)benzimidazole as ulcer inhibitors
     via S-oxidation using hydrogen peroxide and vanadium catalysts
IN   Kato, Masayasu; Toyoshima, Yoshio; Iwano, Norio
PA   Takeda Chemical Industries, Ltd., Japan
SO   Eur. Pat. Appl., 11 pp.
     CODEN: EPXXDW
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.        KIND  DATE           APPLICATION NO.  DATE
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PI	EP 302720	A1	19890208	EP 1988-307191	19880803 <--
	EP 302720	B1	19921111		
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	DK 8804281	A	19890205	DK 1988-4281	19880801
	DK 171989	B1	19970908		
	JP 01131176	A2	19890524	JP 1988-193657	19880802
	JP 06086444	B4	19941102		
	HU 49346	A2	19890928	HU 1988-4076	19880803
	HU 199828	B	19900328		
	CA 1263119	A1	19891121	CA 1988-573673	19880803
	AT 82283	E	19921115	AT 1988-307191	19880803
	ES 2052728	T3	19940716	ES 1988-307191	19880803
	US 5578732	A	19961126	US 1995-430178	19950427
PRAI	JP 1987-194809		19870804		
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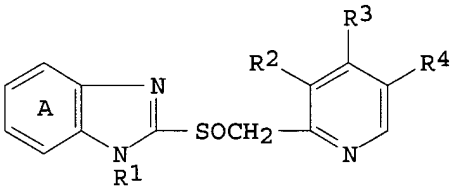


I

AB The title compds. [I; R1 = H, protecting group; R2-R4 = H, (fluoro)alkyl, alkoxy; the A ring may be substituted], known antiulcer agents, were prepd. by oxidn. of the corresponding sulfides with H2O2 in the presence of vanadium compds. 2-[[3-Methyl-4-(2,2,2-trifluoroethoxy)pyrid-2-yl]methylthio]benzimidazole in CH2Cl2 was treated with a mixt. of H2O2 and V2O5 in Me3COH. The mixt. was stirred 1 h at room temp. to give 93.2% of the corresponding sulfinyl compd.

=>

AN 1996:229899 CAPLUS
DN **124:331460**
TI Determination of R(+)- and S(-)-lansoprazole using chiral stationary-phase liquid chromatography and their enantioselective pharmacokinetics in humans
AU Katsuki, Hisakazu; Yagi, Hatsumi; Arimori, Kazuhiko; Nakamura, Chizuko; Nakano, Masahiro; Katafuchi, Shigeru; Fujioka, Yuhichi; Fujiyama, Shigetoshi
CS Dep. Pharmacy, Kumamoto Univ. Hospital, Kumamoto, Japan
SO Pharm. Res. (1996), 13(4), 611-15
CODEN: PHREEB; ISSN: 0724-8741
DT Journal
LA English

AN 1999:758298 CAPLUS

DN 132:308287
TI Investigation of glassy state of two novel benzimidazole derivatives
AU Vrecer, F.
CS R&D Div., KRKA, Novo mesto, Slovenia
SO Farm. Vestn. (Ljubljana) (1999), 50(Pos. Stev.), 347-348
CODEN: FMVTAV; ISSN: 0014-8229
PB Slovensko Farmacevtsko Drustvo
DT Journal
LA English
AB **Amorphous** forms of 3,5-dimethyl-4-methoxy-2-[[(5-methoxy-1H-benzimidazol-2-yl)sulfinyl]methyl]pyridine (PP/K-06) and 2-[[[2(1H)-benzimidazolyl]sulfinyl]methyl]-3-methyl-4-(2,2,2-trifluoroethoxy)pyridine (PP/K-10) were prepd. by spray drying. Low dissoln. rates of both substances in comparison to the cryst. forms were attributed to partial crystn. and agglomeration, which occurred within minutes after contact with the dissoln. medium. The **amorphous** form of PP/K-10 was stable under moderate compression. DSC scans of compressed PP/K-10 revealed decreased relaxation enthalpy and asym. crystn. exotherm followed by .gtoreq.1 endotherm in the range 120.0-140.0.degree.; the new endotherms were attributed to new polymorphic forms of PP/K-10.
IT **73590-58-6**
RL: PRP (Properties)
(investigation of glassy state of novel benzimidazole derivs.)

164482

RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

	SE 9601598	A	19971027	SE 1996-1598	19960426
	SE 508669	C2	19981026		
	CA 2251636	AA	19971106	CA 1997-2251636	19970422
	AU 9727193	A1	19971119	AU 1997-27193	19970422
	AU 711345	B2	19991014		
	EP 897386	A1	19990224	EP 1997-921045	19970422

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

	CN 1216989	A	19990519	CN 1997-194114	19970422
	BR 9708829	A	19990803	BR 1997-8829	19970422
	JP 2000509067	T2	20000718	JP 1997-538796	19970422
	US 6124464	A	20000926	US 1997-860825	19970710 <--
	NO 9804903	A	19981021	NO 1998-4903	19981021
PRAI	SE 1996-1598	A	19960426		
	WO 1997-SE674	W	19970422		

OS CASREACT 128:22909; MARPAT 128:22909

AB A novel process for the prepn. of a magnesium salt of a substituted sulfinyl heterocyclic compd. contg. an imidazole moiety is described.. The process is carried out by mixing the substituted heterocycle with a weak base and a magnesium source. The base and the magnesium source are selected to result in residues which are easy to remove during the reaction. The invention also relates to the use of the compds. obtained in medicine. Thus, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole magnesium salt was obtained by the reaction of the corresponding free base with aq. NH3 and MgSO4.7H2O in MeOH soln.

=> s us6150380/pn
L8 1 US6150380/PN

=> d bib abs

L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2001 ACS
AN 1999:152362 CAPLUS
DN 130:173034
TI New crystalline form of omeprazole having improved stability
IN Lovqvist, Karin; Noreland, David; Sunden, Gunnel; Ymen, Ingvar
PA Astra AB, Swed.
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908500	A2	19990225	WO 1998-SE2028	19981110
	WO 9908500	A3	19990729		

W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MW, MX, NO,

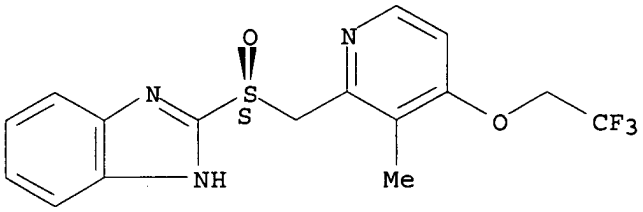
NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA,
UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

AU 9913551	A1	19990308	AU 1999-13551	19981110	
EP 969819	A2	20000112	EP 1998-957255	19981110	
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO					
US 6150380	A	20001121	US 1998-202251	19981210	<--
PRAI WO 1998-SE2028	W	19981110			

AB The present invention relates to a novel cryst. form of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (omeprazole). Further, the present invention also relates to the use of the novel cryst. form of omeprazole for the treatment of gastrointestinal disorders, and pharmaceutical compns. contg. it as well as processes for the prepn. of the novel cryst. form of omeprazole. The cryst. omeprazole, exhibiting specified x-ray powder diffraction pattern, is more thermodynamically stable at room temp. than the other cryst. form of omeprazole.

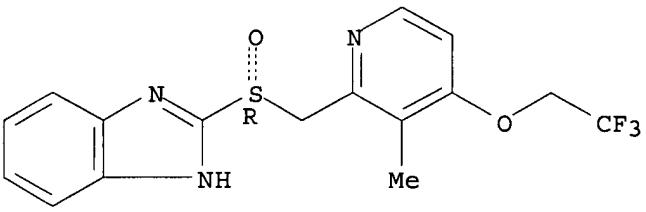
L5 31 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
MF C16 H14 F3 N3 O2 S
CI COM

Absolute stereochemistry. Rotation (-).



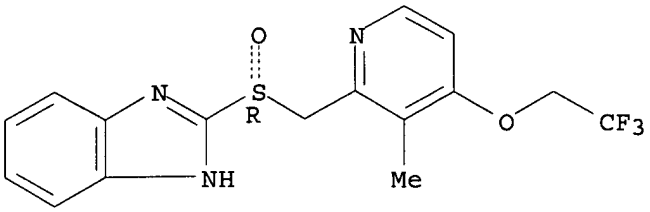
L5 31 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
MF C16 H14 F3 N3 O2 S
CI COM

Absolute stereochemistry. Rotation (+).



L8 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
MF C16 H14 F3 N3 O2 S
CI COM

Absolute stereochemistry. Rotation (+).

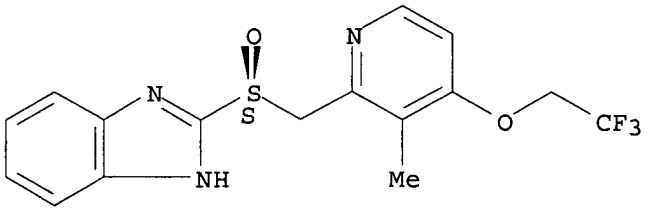


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

oil
not solid

L8 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
MF C16 H14 F3 N3 O2 S
CI COM

Absolute stereochemistry. Rotation (-).



file.

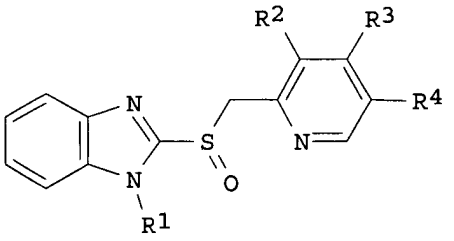
=> s us6002011/pn
L3 1 US6002011/PN

=> d bib abs

L3 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
AN 1998:341559 CAPLUS
DN 129:16123
TI Crystals of benzimidazole derivatives and their production
IN Kato, Masayasu; Ishida, Toru
PA Takeda Chemical Industries, Ltd., Japan; Kato, Masayasu; Ishida, Toru
SO PCT Int. Appl., 36 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9821201	A1	19980522	WO 1997-JP4136	19971113
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	TW 385306	B	20000321	TW 1997-86116425	19971105
	AU 9749652	A1	19980603	AU 1997-49652	19971113
	AU 731776	B2	20010405		
	JP 10195068	A2	19980728	JP 1997-312185	19971113
	EP 944617	A1	19990929	EP 1997-912445	19971113
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1237167	A	19991201	CN 1997-199638	19971113
	US 6002011	A	19991214	US 1998-9959	19980121 <--
	KR 2000053158	A	20000825	KR 1999-704094	19990507
PRAI	JP 1996-303361	A	19961114		
	WO 1997-JP4136	W	19971113		

OS MARPAT 129:16123
GI



I

AB Substantially solvent-free and stable crystals of benzimidazoles I (R1 = H or an N-protecting group; R2, R3, R4 = H, alkyl, haloalkyl, alkoxy, haloalkoxy; benzene ring may be substituted) or their salts are prepd. in an industrially advantageous method by a desolvation method.

=> select l3
ENTER ANSWER NUMBER OR RANGE (1-):1
ENTER DISPLAY CODE (TI) OR ?:rn

E1 THROUGH E10 ASSIGNED

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	4.79	9.71

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.62	-1.24

FILE 'REGISTRY' ENTERED AT 10:27:54 ON 21 MAY 2002
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

STRUCTURE FILE UPDATES: 19 MAY 2002 HIGHEST RN 418753-34-1
DICTIONARY FILE UPDATES: 19 MAY 2002 HIGHEST RN 418753-34-1

TSCA INFORMATION NOW CURRENT THROUGH July 7, 2001

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

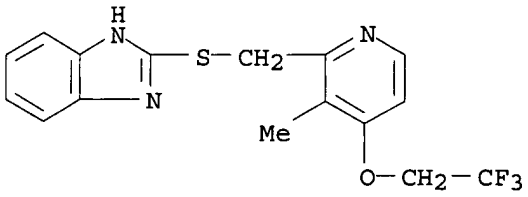
Crossover limits have been increased. See HELP CROSSOVER for details.

Calculated physical property data is now available. See HELP PROPERTIES
for more information. See STNote 27, Searching Properties in the CAS
Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> s e1-10
1 103577-40-8/BI
(103577-40-8/RN)
1 103577-45-3/BI
(103577-45-3/RN)
1 103577-61-3/BI
(103577-61-3/RN)
1 103577-66-8/BI
(103577-66-8/RN)
1 207790-96-3/BI
(207790-96-3/RN)
1 22710-07-2/BI
(22710-07-2/RN)
1 37699-43-7/BI
(37699-43-7/RN)
1 583-39-1/BI
(583-39-1/RN)
1 583-61-9/BI
(583-61-9/RN)
1 75-89-8/BI
(75-89-8/RN)
L4 10 (103577-40-8/BI OR 103577-45-3/BI OR 103577-61-3/BI OR 103577-66-8/BI OR 207790-96-3/BI OR 22710-07-2/BI OR 37699-43-7/BI OR 583-39-1/BI OR 583-61-9/BI OR 75-89-8/BI)

=> d scan

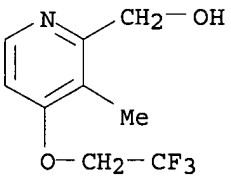
L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]- (9CI)
MF C16 H14 F3 N3 O S
CI COM



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

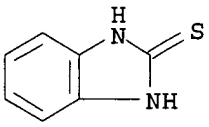
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):9

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 2-Pyridinemethanol, 3-methyl-4-(2,2,2-trifluoroethoxy)- (9CI)
MF C9 H10 F3 N O2
CI COM



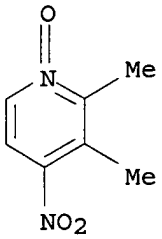
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 2H-Benzimidazole-2-thione, 1,3-dihydro- (9CI)
MF C7 H6 N2 S
CI COM



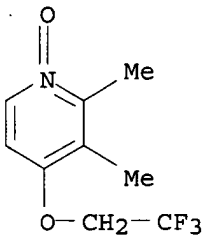
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Pyridine, 2,3-dimethyl-4-nitro-, 1-oxide (9CI)
MF C7 H8 N2 O3



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Pyridine, 2,3-dimethyl-4-(2,2,2-trifluoroethoxy)-, 1-oxide (9CI)
MF C9 H10 F3 N O2

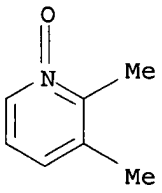


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Ethanol, 2,2,2-trifluoro- (6CI, 8CI, 9CI)
MF C2 H3 F3 O
CI COM

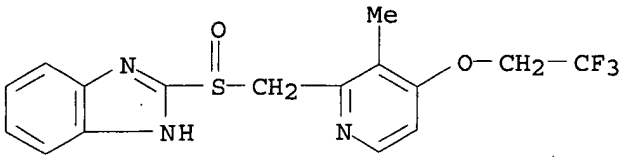
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Pyridine, 2,3-dimethyl-, 1-oxide (9CI)
MF C7 H9 N O



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

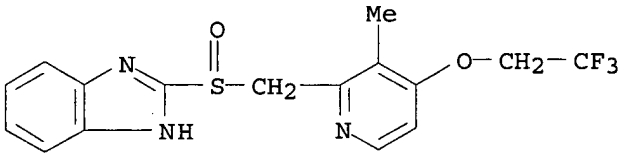
L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI)
MF C16 H14 F3 N3 O2 S
CI COM



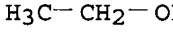
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (1:1), monohydrate (9CI)
MF C16 H14 F3 N3 O2 S . C2 H6 O . H2 O

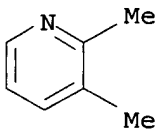
CM 1



CM 2



L4 10 ANSWERS REGISTRY COPYRIGHT 2002 ACS
IN Pyridine, 2,3-dimethyl- (9CI)
MF C7 H9 N
CI COM



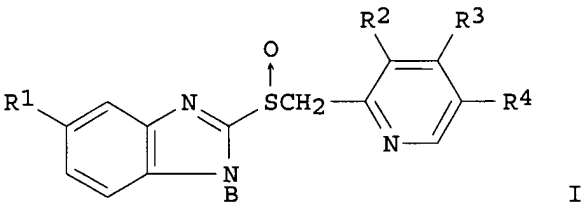
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001039975	A2	20010213	JP 1999-210654	19990726

OS MARPAT 134:168317
GI



I

AB **Crystals** of sulfoxides I [R1 = H, OMe, OCHF2; R2 = Me, OMe; R3 = O(CH2)3OMe, OMe, OCH2CF3; R4 = H, Me; B = H, alkali metal, 1/2 alk. earth metal] or their salts, useful as gastric acid secretion inhibitors or antiulcer agents (no data), are prepd. by crystn. of amorphous I or I acetone complexes from lower fatty acid esters. I [R1 = R4 = H, R2 = Me, R3 = O(CH2)3OMe, B = Na] (II) acetone complex was dissolved into AcOEt and crystd. to give 93.2% II, which was stored at 25.degree. and 50.04% relative humidity for 2 wk to show 0.23% wt. increase, vs. .gtoreq.7% wt. increase, for amorphous II.

L15 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 2001:31493 CAPLUS
DN 134:86261
TI **Crystals** of benzimidazole compounds
IN Fujishima, Akira; Aoki, Isao; Kamiyama, Keiji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001002389	A1	20010111	WO 2000-JP4279	20000629
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	JP 2001072675	A2	20010321	JP 2000-195627	20000629

PRAI JP 1999-186403 A 19990630
AB Cryst. S-isomer of 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (I) or salts thereof, useful as antiulcer agents at 5-150 mg/day p.o., are prepd. and their **crystal** structures detd. by powder x-ray diffraction. Chromatog. resoln. of racemic I on a Chiralcel OD column with 85:15 hexane/isopropanol mobile phase gave amorphous (S)-I of 93.3% ee, which was dissolved in acetone, the soln. was gently heated while adding H2O, the soln. was kept at room temp. overnight and subject to repeated supersonic treatment and recrystn. to give cryst. (S)-I of 99.4% ee.
RE.CNT 49
RE

(4) Astra Aktiebolag; CN 1157614 A CAPLUS
(5) Astra Aktiebolag; CN 1157614 A CAPLUS
(6) Astra Aktiebolag; CN 1193971 A CAPLUS
(7) Astra Aktiebolag; CA 2193994 A CAPLUS
(8) Astra Aktiebolag; CA 2193994 A CAPLUS
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2001 ACS
AN 2001:24360 CAPLUS
DN 134:123786
TI Lansoprazole, an antiulcerative drug
AU Vyas, K.; Sivalakshmidevi, A.; Om Reddy, G.
CS Dr. Reddy's Research Foundation, Hyderabad, 500 016, India
SO Acta Crystallogr., Sect. C: Cryst. Struct. Commun. (2000), C56(12), e572-e573
CODEN: ACSCEE; ISSN: 0108-2701
PB Munksgaard International Publishers Ltd.
DT Journal
LA English
AB Lansoprazole, 2-({[3-methyl-4-(2,2,2-trifluroethoxy)pyridin-2-yl]methyl}sulfinyl)-1H-benzimidazole, C16H14F3N3O2S, is an antiulcerative agent. The mols. in the lattice are held together by intermol. H bonds between the NH group of benzimidazole and the sulfinyl O atom. Crystallog. data are given.
RE.CNT 7
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

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AN 2000:911240 CAPLUS
DN 134:61518
TI Purification and crystallization of (R)-lansoprazole as antiulcer agent
IN Fujishima, Akira; Aoki, Isao; Kamiyama, Keiji
PA Takeda Chemical Industries, Ltd., Japan
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
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FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000078745	A2	20001228	WO 2000-JP3880	20000615
	WO 2000078745	A3	20010705		
	W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1129088	A2	20010905	EP 2000-937235	20000615
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	JP 2001058990	A2	20010306	JP 2000-181640	20000616
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PRAI	JP 1999-171509	A	19990617		
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